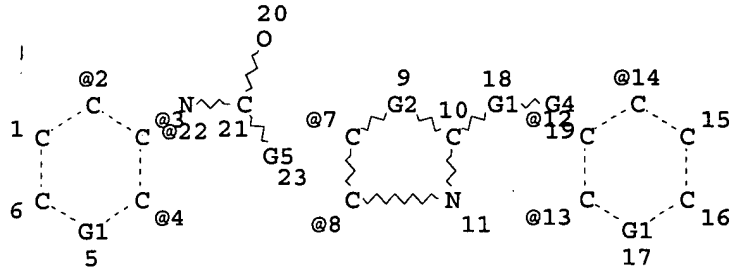
L7 HAS NO ANSWERS
L7 STR



VAR G1=N/C
VAR G2=O/S/N
VAR G4=14/12/13
VAR G5=7/8
VPA 22-2/3/4 U
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 4 13 11
NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE

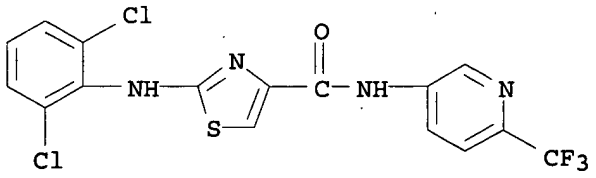
=> s l7 ful
FULL SEARCH INITIATED 10:51:29 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 858119 TO ITERATE

100.0% PROCESSED 858119 ITERATIONS 357 ANSWERS
SEARCH TIME: 00.00.12

L9 357 SEA SSS FUL L7

=> d scan

L9 357 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 4-Thiazolecarboxamide, 2-[(2,6-dichlorophenyl)amino]-N-[6-(trifluoromethyl)-3-pyridinyl]- (9CI)
MF C16 H9 Cl2 F3 N4 O S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l9 and pyri?
3192625 PYRI?

L10 105 L9 AND PYRI?

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 180.34 180.55

FILE 'CAPLUS' ENTERED AT 10:52:10 ON 14 FEB 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 14 Feb 2006 VOL 144 ISS 8
FILE LAST UPDATED: 13 Feb 2006 (20060213/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l10
L11 8 L10

=> d bib abs hitstr 8

L11 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:756524 CAPLUS
DN 133:321878
TI Preparation of cyclic protein tyrosine kinase inhibitors
IN Das, Jagabandhu; Padmanabha, Ramesh; Chen, Ping; Norris, Derek J.; Doweyko, Arthur M. P.; Barrish, Joel C.; Wityak, John
PA Bristol-Myers Squibb Co., USA
SO PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

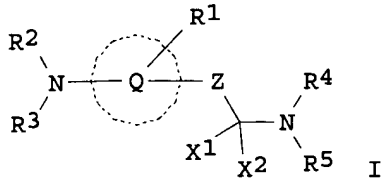
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000062778	A1	20001026	WO 2000-US9753	20000412
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2366932	AA	20001026	CA 2000-2366932	20000412
AU 2000042338	A5	20001102	AU 2000-42338	20000412
AU 779089	B2	20050106		
EP 1169038	A1	20020109	EP 2000-922102	20000412
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO

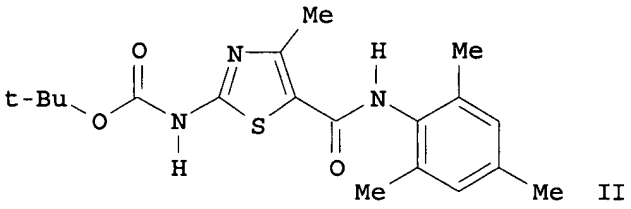
	BR 2000009721	A	20020213	BR 2000-9721	20000412
	TR 200102969	T2	20020821	TR 2001-200102969	20000412
	JP 2002542193	T2	20021210	JP 2000-611914	20000412
	NZ 513639	A	20040227	NZ 2000-513639	20000412
	RU 2260592	C2	20050920	RU 2001-130452	20000412
	ZA 2001007204	A	20021202	ZA 2001-7204	20010830
	NO 2001004970	A	20011210	NO 2001-4970	20011012
	US 2005261305	A1	20051124	US 2005-138793	20050525
	US 2005288303	A1	20051229	US 2005-138942	20050526
PRAI	US 1999-129510P	P	19990415		
	WO 2000-US9753	W	20000412		
	US 2000-548929	A1	20000413		
	US 2003-378373	A1	20030303		

OS MARPAT 133:321878

GI



I



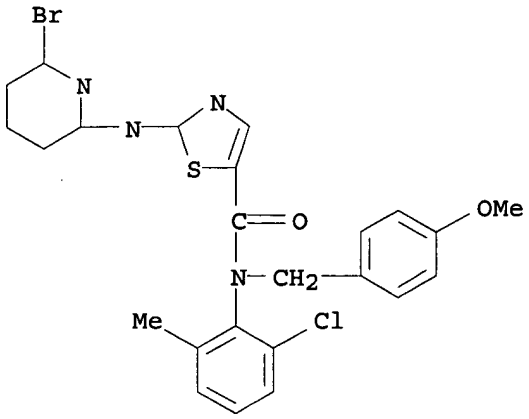
II

AB The title compds. [I; Q = (un)substituted 5-6 membered heteroaryl, aryl; Z = a single bond, R15C:CH, (CH2)m (m = 1-2); X1, X2 = H; X1 and X2 together = O, S; R1 = H, alkyl, alkenyl, etc.; R2, R3 = H, alkyl, alkenyl, etc.; R4, R5 = H, alkyl, alkenyl, etc.], useful in the treatment of protein tyrosine kinase-associated disorders such as immunol. and oncol. disorders (no data), were prepared E.g., a multi-step synthesis of thiazole II was given. Compds. I are effective at 0.1-100 mg/kg/day.

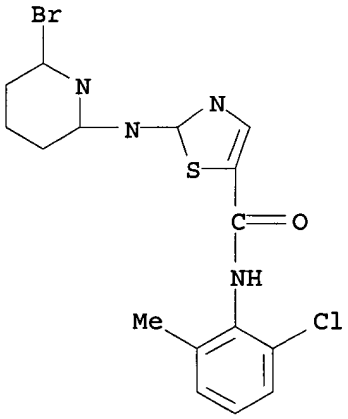
IT **302963-43-5P 302963-44-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of cyclic protein tyrosine kinase inhibitors)

RN 302963-43-5 CAPLUS

CN 5-Thiazolecarboxamide, 2-[(6-bromo-2-pyridinyl)amino]-N-(2-chloro-6-methylphenyl)-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 302963-44-6 CAPLUS
CN 5-Thiazolecarboxamide, 2-[(6-bromo-2-pyridinyl)amino]-N-(2-chloro-6-methylphenyl)- (9CI) (CA INDEX NAME)



```
     (FILE 'HOME' ENTERED AT 10:44:51 ON 14 FEB 2006)

     FILE 'REGISTRY' ENTERED AT 10:44:57 ON 14 FEB 2006
L1              STRUC
L2           46 S L1
L3              STRUC
L4           45 S L3
L5              STRUC
L6           32 S L5
L7              STRUC
L8            0 S L7
L9          357 S L7 FUL
L10         105 S L9 AND PYRI?

     FILE 'CAPLUS' ENTERED AT 10:52:10 ON 14 FEB 2006
L11           8 S L10

     FILE 'REGISTRY' ENTERED AT 10:53:37 ON 14 FEB 2006
L12           2 S  302961-88-2 OR  302961-89-3

     FILE 'CAPLUS' ENTERED AT 10:53:56 ON 14 FEB 2006
L13           4 S L12

=> s l11 not l13
L14           4 L11 NOT L13

=> d bib 1-4

L14  ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2005:1144486  CAPLUS
DN   144:51494
TI   Synthesis and evaluation of thiazole carboxamides as vanilloid receptor 1
     (TRPV1) antagonists
AU   Xi, Ning; Bo, Yunxin; Doherty, Elizabeth M.; Fotsch, Christopher; Gavva,
     Narender R.; Han, Nianhe; Hungate, Randall W.; Klionsky, Lana; Liu,
     Qingyian; Tamir, Rami; Xu, Shimin; Treanor, James J. S.; Norman, Mark H.
CS   Chemistry Research and Discovery, Amgen Inc., Thousand Oaks, CA, 91320,
     USA
SO   Bioorganic & Medicinal Chemistry Letters (2005), 15(23), 5211-5217
     CODEN: BMCLE8; ISSN: 0960-894X
PB   Elsevier B.V.
DT   Journal
LA   English
RE.CNT  23    THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14  ANSWER 2 OF 4  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2005:471944  CAPLUS
DN   143:26594
TI   Preparation of thiazoles and oxazoles useful as modulators of ATP-binding
     cassette (ABC) transporters
IN   Hadida Ruah, Sarah S.; Miller, Mark T.; Grootenhuis, Peter D. J.;
     Hamilton, Matthew
PA   Vertex Pharmaceuticals Incorporated, USA
SO   PCT Int. Appl., 146 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     --------------      ----   --------    --------------------    --------
PI   WO 2005049018        A1    20050602    WO 2004-US38566         20041115
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
```

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	US 2005130970	A1	20050616	US 2004-989218	20041115
PRAI	US 2003-520355P	P	20031114		

OS MARPAT 143:26594
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:1068074 CAPLUS
DN 142:168974
TI Discovery of N-(2-Chloro-6-methyl-phenyl)-2-(6-(4-(2-hydroxyethyl)-piperazin-1-yl)-2-methylpyrimidin-4-ylamino)thiazole-5-carboxamide (BMS-354825), a Dual Src/Abl Kinase Inhibitor with Potent Antitumor Activity in Preclinical Assays
AU Lombardo, Louis J.; Lee, Francis Y.; Chen, Ping; Norris, Derek; Barrish, Joel C.; Behnia, Kamelia; Castaneda, Stephen; Cornelius, Lyndon A. M.; Das, Jagabandhu; Doweyko, Arthur M.; Fairchild, Craig; Hunt, John T.; Inigo, Ivan; Johnston, Kathy; Kamath, Amrita; Kan, David; Klei, Herbert; Marathe, Punit; Pang, Suhong; Peterson, Russell; Pitt, Sidney; Schieven, Gary L.; Schmidt, Robert J.; Tokarski, John; Wen, Mei-Li; Wityak, John; Borzilleri, Robert M.
CS Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SO Journal of Medicinal Chemistry (2004), 47(27), 6658-6661
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 142:168974
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

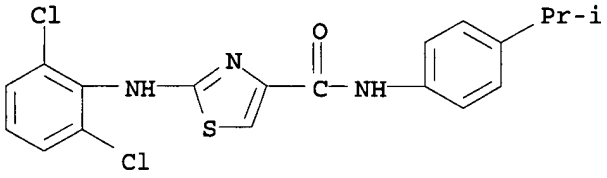
L14 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:654774 CAPLUS
DN 141:190788
TI A preparation of N-containing heterocyclic compounds, useful as vanilloid receptor ligands
IN Doherty, Elizabeth M.; Fotsch, Christopher H.; Han, Nianhe; Hungate, Randall W.; Liu, Qingyian; Norman, Mark H.; Xi, Ning; Xu, Shimin
PA Amgen Inc., USA
SO U.S. Pat. Appl. Publ., 38 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004157845	A1	20040812	US 2004-775980	20040209
	CA 2515215	AA	20040826	CA 2004-2515215	20040209
	WO 2004072068	A1	20040826	WO 2004-US3908	20040209

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,

```
            BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
            MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
            GQ, GW, ML, MR, NE, SN, TD, TG
     EP 1603905          A1    20051214    EP 2004-709532         20040209
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
PRAI US 2003-446511P      P     20030210
     WO 2004-US3908       W     20040209
OS   MARPAT 141:190788


=> fil reg
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      11.05     207.55

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)            SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                      -0.75      -1.50

FILE 'REGISTRY' ENTERED AT 10:55:33 ON 14 FEB 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   13 FEB 2006  HIGHEST RN 874180-50-4
DICTIONARY FILE UPDATES:  13 FEB 2006  HIGHEST RN 874180-50-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> d his

     (FILE 'HOME' ENTERED AT 10:44:51 ON 14 FEB 2006)

     FILE 'REGISTRY' ENTERED AT 10:44:57 ON 14 FEB 2006
L1             STRUC
L2          46 S L1
```

```
L3              STRUC
L4           45 S L3
L5              STRUC
L6           32 S L5
L7              STRUC
L8            0 S L7
L9          357 S L7 FUL
L10         105 S L9 AND PYRI?

     FILE 'CAPLUS' ENTERED AT 10:52:10 ON 14 FEB 2006
L11           8 S L10

     FILE 'REGISTRY' ENTERED AT 10:53:37 ON 14 FEB 2006
L12           2 S  302961-88-2 OR  302961-89-3

     FILE 'CAPLUS' ENTERED AT 10:53:56 ON 14 FEB 2006
L13           4 S L12
L14           4 S L11 NOT L13

     FILE 'REGISTRY' ENTERED AT 10:55:33 ON 14 FEB 2006

=> s l9 not l10
L15         252 L9 NOT L10

=> d scan

L15  252 ANSWERS   REGISTRY  COPYRIGHT 2006 ACS on STN
IN   4-Thiazolecarboxamide, 2-[(2,6-dichlorophenyl)amino]-N-[4-(1-
     methylethyl)phenyl]- (9CI)
MF   C19 H17 Cl2 N3 O S
```




```
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.44     207.99

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -1.50

FILE 'CAPLUS' ENTERED AT 10:55:56 ON 14 FEB 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
```

26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
American Chemical Society and is provided to assist you in searching
databases on STN. Any dissemination, distribution, copying, or storing
of this information, without the prior written consent of CAS, is
strictly prohibited.

FILE COVERS 1907 - 14 Feb 2006 VOL 144 ISS 8
FILE LAST UPDATED: 13 Feb 2006 (20060213/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

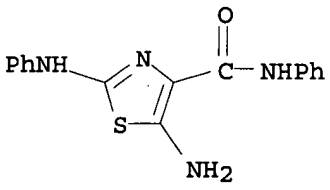
http://www.cas.org/infopolicy.html

=> s l15
L16 38 L15

=> s l16 and py<2003
22790873 PY<2003
L17 25 L16 AND PY<2003

=> d bib hitstr

L17 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:835624 CAPLUS
DN 139:6779
TI Product class 17: thiazoles
AU Kikelj, D.; Urleb, U.
CS Fac. Pharm., University Ljubljana, Slovenia
SO Science of Synthesis (2002), 11, 627-833
CODEN: SSCYJ9
PB Georg Thieme Verlag
DT Journal; General Review
LA English
IT **105932-00-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(review of preparation of thiazoles and reactions thereof)
RN 105932-00-1 CAPLUS
CN 4-Thiazolecarboxamide, 5-amino-N-phenyl-2-(phenylamino)- (9CI) (CA INDEX NAME)



RE.CNT 1224 THERE ARE 1224 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

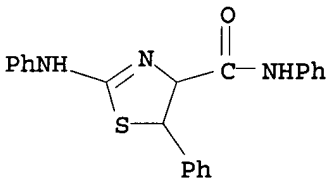
=> d bib abs hitstr 25

L17 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1959:56387 CAPLUS
DN 53:56387
OREF 53:10179g-i,10180a-g
TI Thiazolidines. III. Reaction of 2-methylthio-5-phenylthiazoline-4-carboxylic acid with thionyl chloride and phosphorus pentachloride

AU Holland, D. O.; Mamalis, P.
CS Beecham Research Labs. Ltd., Betch-worth, UK
SO Journal of the Chemical Society, Abstracts (1958) 4596-601
CODEN: JCSAAZ; ISSN: 0590-9791
DT Journal
LA Unavailable
AB cis- (I) and trans-2-Methylthio-5-phenylthiazoline-4-carboxylic acid (II) with $SOCl_2$ or PCl_5 give a gum which with bases yield compds. believed to be α-(methyldithiocarbonylamino)cinnamic acid derivs. II (2.5 g.) and 2.1 g. PCl_5 in 20 ml. C_6H_6 refluxed 1.5 hrs., the solvent removed, and the residue recrystd. gave 0.4 g. 4-benzylidene-2-methylthiothiazolin-5-one (III), m. 97° (C_6H_6-ligroine). The mother liquor from III left 2 hrs. with $PhNH_2$, extracted with dilute HCl, washed, dried, and concentrated gave 0.3 g. of α-(methyldithiocarbonylamino)cinnamanilide (IIIa), m. 152-3°, λ 287 mμ, ε 18,235. The mother liquor from a similar reaction treated 2 hrs. with glycine Me ester and 2.1 ml. NEt_3 in 10 ml. $CHCl_3$ extracted with dilute HCl, washed, evaporated, and treated 2 hrs. at room temperature with 20 ml. N NaOH gave 4-benzylidene-2-methylthiothiazolin-5-one (IV), m. 96-7° (alc.). The remaining alkaline solution extracted with $CHCl_3$ and acidified gave 0.18 g. 4-benzylidene-4,5-dihydro-2-mercapto-5-oxoglyoxalin-1-ylacetic acid, m. 255°. The $CHCl_3$ layer from the acidified solution washed, dried, and concentrated gave N-[α-(methyldithiocarbonylamino)cinnamoyl] glycine (IVa), m. 184-5° ($CHCl_3$-ligroine), λ 304 mμ, ε 12, 620; Me ester, m. 86-7° (Et_2O-ligroine). 4-Benzylidene-2-thiothiazolid-5-one (2.5 g.) shaken 10 min. with 1.1 ml. MeI in 11.5 ml. N NaOH gave 1.6 g. IV. IV was also obtained when Me_2SO_4 was used to replace MeI. trans-2-Methylthio-5-phenylthiazoline 4-carboxyhydrazide (1.35 g.) stirred 5 min. at 0° in 7.5 ml. N HCl and 12.5 ml. H_2O with 10 ml. $CHCl_3$ and 0.4 g. $NaNO_2$, the $CHCl_3$ layer separated, washed, treated with 0.5 ml. $PhNH_2$, and left overnight gave 0.3 g. trans-2-methylthio-5-phenylthiazoline-4-carboxanilide, m. 83-4° (EtOAc-ligroine). The above reaction repeated and the $CHCl_3$ solution containing the $PhNH_2$ heated 20 min. gave 2-anilino-5-phenylthiazoline-4-carboxanilide, m. 178° (MeOH). II (2.5 g.) in 100 ml. C_6H_6 concentrated to 50 ml. and treated at room temperature with 3 ml. $SOCl_2$, the solid removed after 3 hrs. at 10°, and washed with C_6H_6 gave a product, m. 116° (decomposition), which kept in a desiccator developed the odor of $SOCl_2$. Use of 6 ml. $SOCl_2$ under reflux 1 hr. gave 1.8 g. IV. II (1.25 g.) and 3 ml. pure $SOCl_2$ in 5 ml. $CHCl_3$ refluxed 1 hr. gave a gum which treated with 5 ml. $CHCl_3$ and 2 ml. $PhNH_2$ gave 0.90 g. IIIa. The gum from a similar experiment in 10 ml. $CHCl_3$ treated 3 hrs. with 1.26 g. glycine Me ester-HCl and 7 ml. Et_3N in 15 ml. $CHCl_3$, extracted with dilute HCl, washed, dried, the solvent removed, the gum stirred 1 hr. with 15 ml. N NaOH, extracted with $CHCl_3$, acidified, and crystallized gave 1.1 g. IVa. The gum from the reaction of 1.25 g. II with $SOCl_2$ in $CHCl_3$ treated 16 hrs. with NH_4OH and isolated gave 0.55 g. α-(methyldithiocarbonylamino)cinnamamide (V), m. 122-4° (aqueous MeOH), λ 304 mμ, ε 11,485. V (0.5 g.) refluxed 15 min. with 4 ml. Ac_2O and 1 drop concentrated H_2SO_4, then left 45 min. on the steam bath, and H_2O added gave 0.34 g. mono-Ac derivative, m. 97-8° (aqueous MeOH). trans-5-Phenyl-2-thiothiazolidine-4-carboxamide (0.5 g.) and 0.5 ml. MeI in 2.5 ml. N NaOH kept 16 hrs. at 0°, extracted with $CHCl_3$, washed, dried, and concentrated gave trans-2-methylthio-5-phenylthiazoline-4-carboxamide, m. 92-3°. The corresponding amide (1 g.) refluxed 5 hrs. with 5 ml. concentrated HCl, 5 ml. AcOH, and 5 ml. H_2O, cooled, diluted with H_2O, and separated gave 0.75 g. α-(methyldithiocarbonylamino)cinnamic acid (VI), m. 140-1° (aqueous MeOH). After the amide (1 g.) was hydrolyzed 4 hrs. under reflux with 1.5 g. NaOH in 20 ml. 50% MeOH, the Na

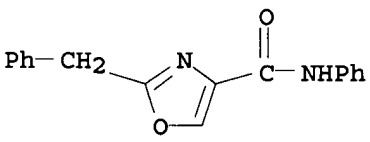
salt separated as plates, m. above 290°, and acidification gave VI. The gum from the reaction of 2.5 g. II with SOCl2 in CHCl3 dissolved in 15 ml. MeOH and left overnight gave 1.4 g. Me α-(methyldithiocarbonylamino)cinnamate (VII), m. 64-6° (aqueous MeOH). VII was also obtained from the acid by esterification with CH2N2 in EtOAc. I (2.5 g.) and 6 ml. SOCl2 refluxed 0.5 hr. in 10 ml. CHCl3, evaporated, treated in 10 ml. CHCl3 3 days with 1.35 g. glycine Et ester-HCl, extracted with dilute HCl, the organic layer washed, dried, concentrated, the gum hydrolyzed by stirring 1 hr. with 15 ml. N NaOH, extracted with CHCl3, acidified, and separated gave 0.6 g. IVa. When the gum from 1.25 g. I was allowed to react with NH3, 0.7 g. V was isolated. Similar reaction of the gum with PhNH2 gave IIIa. Me trans-3-methyl-5-phenyl-2-thiothiazolidine-4-carboxylate was obtained from the corresponding acid by reaction with CH2N2 in Et2O, needles, m. 107-8° (MeOH), λ 276 mμ, ε 16,400, or by action of refluxing MeOH with a little H2SO4. 4-Benzylidene-3-methyl-2-thiothiazolidin-5-one (2 g.) and 7 ml. NH4OH heated 2 hrs. on a steam bath and cooled gave 1.5 g. trans-3-methyl-5-phenyl-2-thiothiazolidine-4-carboxamide, m. 131-2° (MeOH), λ 277 mμ, ε 17,000. The amide was also obtained by heating 1.0 g. Me ester in 15 ml. MeOH with 6 ml. NH4OH.

IT **102549-51-9**, 2-Thiazoline-4-carboxanilide, 2-anilino-5-phenyl-
(preparation of)
RN 102549-51-9 CAPLUS
CN 2-Thiazoline-4-carboxanilide, 2-anilino-5-phenyl- (6CI) (CA INDEX NAME)

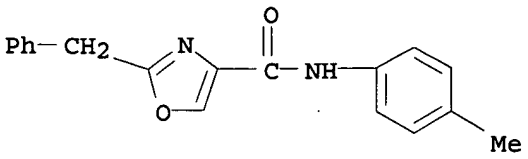


=> d hitstr 24

L17 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN
IT **93323-65-0**, 4-Oxazolecarboxanilide, 2-benzyl- **98511-88-7**
, 4-Oxazolecarboxy-p-toluidide, 2-benzyl-
(preparation of)
RN 93323-65-0 CAPLUS
CN 4-Oxazolecarboxanilide, 2-benzyl- (7CI) (CA INDEX NAME)

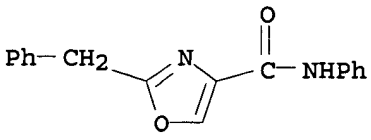


RN 98511-88-7 CAPLUS
CN 4-Oxazolecarboxy-p-toluidide, 2-benzyl- (7CI) (CA INDEX NAME)

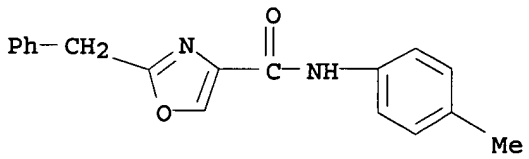


=> d bib abs hitstr 24

L17 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1963:53202 CAPLUS
DN 58:53202
OREF 58:9040e-h
TI Compounds with potential antitubercular activity. IV. N-Substituted thioamides of 4-Oxazolecarboxylic acids
AU Sycheva, T. P.; Trupp, T. Kh.; Shchukina, M. N.
CS S. Ordzhoni-kidze All-Union Chem.-Pharm. Res. Inst., Moscow
SO Zhurnal Obshchei Khimii (**1962**), 32, 2882-5
CODEN: ZOKHA4; ISSN: 0044-460X
DT Journal
LA Unavailable
GI For diagram(s), see printed CA Issue.
AB cf. CA 58, 1448h. Addition of 6.9 g. POCl3 to 3.81 g. 2-methyl-4-oxazolecarboxylic acid and 2.79 g. PhNH2 and heating 1 hr. at 130° gave after an aqueous treatment 8.9 g. 2-methyl-4-oxazolecarboxanilide (I, R = Me) (II), m. 133.5-5.5°. Similarly were prepared the following I (R given): PhCH2, m. 109.5-11°; Ph, m. 187-9°; p-MeC6H4, m. 180.5-2.5% Similarly were prepared: 2-benzyl-4-oxazolecarbomoypholide, m. 108-10% and the corresponding p-toluidide, m. 121-2°. II (1 g.) in 25 ml. pyridine heated with 2 g. P2S5 at 120-30° (bath temperature) 4 hrs. gave after an aqueous treatment and extraction of the precipitate with hot MeOH, 0.25 g. yellow 2-methyl-4-oxazolecarbothioanilide (III), m. 123-5°. Similarly were prepared the III analogs with following 2-substituents: PhCH2, m. 111-:3.5; Ph, m. 104-5°. Similarly were prepared 2-benzyl-4-oxazolecarbothio-p-toluidide, m. 91-3°, and the analogous thiomorpholide, m. 94-6°. 2-p-Tolyl-4-oxazolecarboxanilide and P2S5 in pyridine 10 hrs. at 120-30° gave after an aqueous treatment yellow 2-p-tolyl-4-thiazolecarbothioanilide, m. 147-9°. Et 2-p-toly14-oxazolecarboxylate in 2N NaOH 1 hr. gave the free acid, decomposed 229-30°. The replacement of the hetero O atom by S in the reaction with P2S5 was not observed for the other examples above.
IT **93323-65-0**, 4-Oxazolecarboxanilide, 2-benzyl- **98511-88-7**, 4-Oxazolecarboxy-p-toluidide, 2-benzyl-
(preparation of)
RN 93323-65-0 CAPLUS
CN 4-Oxazolecarboxanilide, 2-benzyl- (7CI) (CA INDEX NAME)



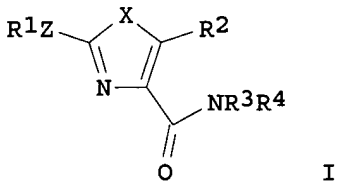
RN 98511-88-7 CAPLUS
CN 4-Oxazolecarboxy-p-toluidide, 2-benzyl- (7CI) (CA INDEX NAME)


Ph— CH2
N
O
C— NH
Me

AN 2005:471944 CAPLUS
DN 143:26594
TI Preparation of thiazoles and oxazoles useful as modulators of ATP-binding cassette (ABC) transporters
IN Hadida Ruah, Sarah S.; Miller, Mark T.; Grootenhuis, Peter D. J.; Hamilton, Matthew
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005049018	A1	20050602	WO 2004-US38566	20041115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005130970	A1	20050616	US 2004-989218	20041115
PRAI US 2003-520355P	P	20031114		

OS MARPAT 143:26594
GI

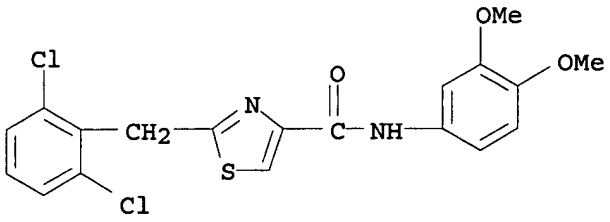


I

AB A method of modulating ABC transporter activity comprises administration of title compds. [I; X = O, S; R1 = H, 3-8 membered (substituted) (unsatd.) (heterocyclic) ring; Z = bond, (substituted) (heteroatom-interrupted) alkylidene; R2 = halo, CF3, cyano, NO2, TqR; R3 = UmR'; R4 = VpCy1; m, p, q = 0, 1; U, V, T = (substituted) (heteroatom-interrupted) alkylidene; Cy1 = 3-8 membered (substituted) (unsatd.) (heterocyclic) ring; R = H, (substituted) aliphatyl; R' = R, (substituted) (unsatd.) (heterocyclic) ring]. Thus, 2-(4-methoxybenzyl)thiazole-4-carboxylic acid, C-[1-(3,4-dimethoxyphenyl)cyclopentyl]methylamine (preparation given), Et3N, and O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate were stirred together for 16 h in MeCN to give 43.9% 2-(4-methoxybenzyl)thiazole-4-carboxylic acid [1-(3,4-dimethoxyphenyl)cyclopentyl]methylamide. Some I exhibit a relative modulating efficacy of >30%.

IT **852639-06-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazoles and oxazoles useful as modulators of ATP-binding cassette transporters)

RN 852639-06-6 CAPLUS
CN 4-Thiazolecarboxamide, 2-[(2,6-dichlorophenyl)methyl]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT